

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.
10/736,084	12/15/2003	Joseph C. Walsh	2003P88073US

Response To OFFICIAL ACTION

EXAMINER
Krishnan, Ganapathy

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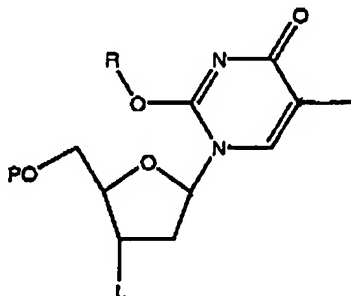
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AMENDMENTS TO THE CLAIMS

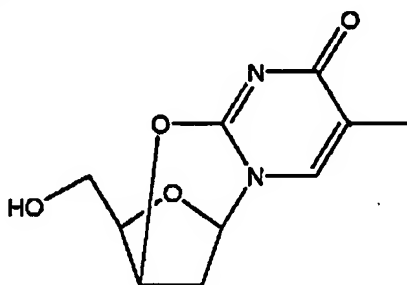
In the Claims, please make the following amendments:

1. (Currently amended): A method for preparing a compound having the following formula:

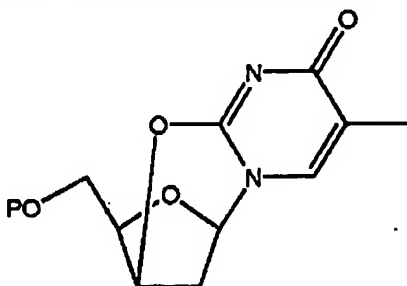


wherein R is an alkoxy blocking group; P is a hydroxyl protecting group; and L is a leaving group, the method comprising the steps of:

- a. reacting a compound of the formula:



with a hydroxyl protecting group to produce a compound having the following formula:



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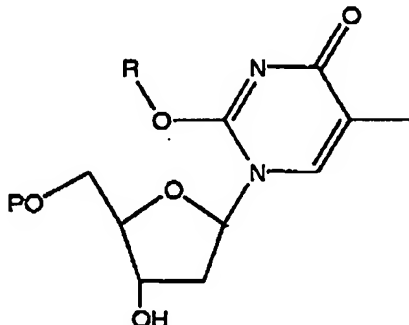
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wherein P is the same as defined above;

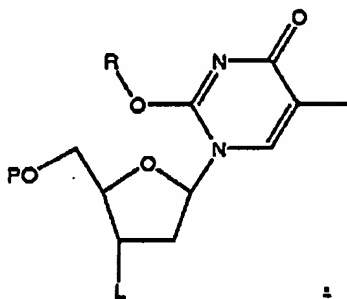
b. enolating the reaction product of step (a) produce a compound having the following formula:



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wherein P and R are the same as defined above; and

c. incorporating a leaving group to produce a compound having the following formula:



2. (Original) The method according to Claim 1, wherein P is selected from the group consisting of methoxymethyl ether, methylthiomethyl ether, 2-methoxyethoxymethyl ether, 1-ethoxyethyl ether, 1-methyl-1-methoxyethyl ether, t-butyl ether, allyl ether, benzyl ether, 4-nitrobenzyl ether, o-nitrobenzyl ether, trityl ether, monomethoxytrityl ether, dimethoxytrityl ether, tritylone ether, tetrahydropyran ether, tetrahydrothiopyranyl ether, 4-methoxy tetrahydropyran ether, 4-methoxytetrahydrothiopyranyl ether, tetrahydrofuran ether, tetrahydrotriofuranyl ether, isobutyrate ester, pivaloate ester, adamantate ester, benzoate ester, 2,4,6-trimethylbenzoate ester, methyl carbonate, allyl carbonate, benzyl carbonate, p-nitrobenzyl carbonate, t-Bu carbonate, S-benzylthio carbonate, N-phenyl carbamate, and nitrate ester.

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3. (Original) The method according to Claim 1, wherein P is selected from the group consisting of dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuranyl ether, ethoxyethyl ether, and 1-methyl-1-methoxyethyl ether.
4. (Currently amended) The method according to Claim 1, wherein R is alkyl C₁-C₄, ~~i~~^{isopropyl}, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate.
5. (Original) The method according to Claim 1, wherein R is methyl, ethyl, ~~i~~^{isopropyl}, benzyl, or cycloalkane C₃-C₆.
6. (Original) The method according to Claim 1, wherein step (b) includes treating the reaction product of step (a) with an alkoxide having 1 to 4 carbons, cycloalkoxide C₃-C₆, phenoxide, tosylate, acetate, or benzoate.
7. (Original) The method according to Claim 6, wherein the alkoxide is sodium methoxide.
8. (Original) The method according to Claim 1, wherein L is a sulfonate ester.
9. (Original) The method according to Claim 1, wherein L is selected from the group consisting of mesylate, nosylate, tosylate, and triflate.
10. (Currently amended) A method for preparing a precursor for the preparation of a radiolabeled nucleoside comprising:
- converting a 2-deoxy nucleoside into a 2,3'-anhydronucleoside;
 - reacting the 2,3'-anhydronucleoside with a hydroxyl protecting group to produce a 2,3'-anhydronucleoside derivative wherein the 5'-O group is protected;
 - reacting the protected 2,3'-anhydronucleoside derivative with a reagent that opens the 2,3'-anhydro-ring and enolates the 2-position on the pyrimidine ring; and

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d. Incorporating a leaving group to produce the radiolabeled nucleoside precursor;

wherein the nucleoside base is thymidine or uridine.

11. (Currently amended) The method according to Claim 10, wherein the nucleoside is thymidine, ~~cytidine~~, or uridine.

12. (Currently amended) A method for preparing a precursor for the preparation of 3'-Deoxy-3'-[¹⁸F]-fluoro-thymidine (¹⁸F-FLT) comprising:

- a. converting thymidine into 2,3'-anhydrothymidine;
- b. reacting the 2,3'-anhydro thymidine with a hydroxyl protecting group to produce a 2,3'-anhydrothymidine derivative wherein the 5'-O group is protected;
- c. reacting the protected 2,3'-anhydrothymidine derivative with a reagent that opens the 2,3'-anhydro-ring and enolates the 2-position on the pyrimidine ring; and
- d. incorporating a leaving group to produce the ¹⁸F-FLT precursor.

13. (Original) The method according to Claim 12, wherein step (c) produces an enol having an -O-R group attached to the 2-carbon.

14. (Currently amended): A method according to Claim 13, wherein R is alkyl C₁-C₄, ~~propyl~~, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate.

15. (Original) A method according to Claim 12, wherein step (c) includes treating the reaction product of step (b) with an alkoxide.

16. (Previously amended) A method according to Claim 15, wherein the alkoxide is selected from the group consisting of sodium methoxide, and sodium ethoxide.

17. (Original) A method according to Claim 12, wherein the hydroxyl protecting group is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl,

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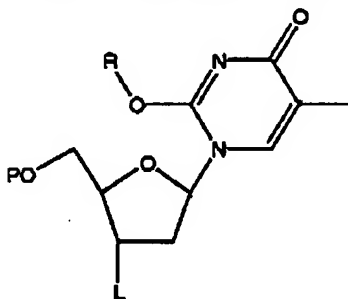
t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuranyl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.

18. (Original) A method according to Claim 12, wherein the hydroxyl protecting group is dimethoxytrityl, monomethoxytrityl, or trityl.

19. (Original) A method according to Claim 12 wherein the leaving group is a sulfonate ester.

20. (Original) A method according to Claim 19, wherein the leaving group is mesylate, tosylate, nosylate, or triflate.

21. (Currently amended): A compound having the following formula:



wherein R is alkyl C₁-C₄, ~~i~~-propyl, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate; P is a hydroxyl protecting group; and L is a leaving group.

22. (Original) A compound according to Claim 21, wherein R is methyl or ethyl.

23. (Original) A compound according to Claim 21, wherein P is methoxymethyl ether, methylthiomethyl ether, 2-methoxyethoxymethyl ether, 1-ethoxyethyl ether, 1-methyl-1-methoxyethyl ether, t-butyl ether, allyl ether, benzyl ether, 4-nitrobenzyl ether, o-nitrobenzyl ether, trityl ether, monomethoxytrityl ether, dimethoxytrityl ether, tritylone ether; tetrahydropyran ether, tetrahydrothiopyranyl ether, 4-methoxy tetrahydropyran ether, 4-methoxytetrahydrothiopyranyl ether, tetrahydrofuran ether, tetrahydrotriofuranyl ether, isobutyrate ester, pivaloate ester, adamantate ester, benzoate ester, 2,4,6-trimethylbenzoate ester; methyl carbonate, allyl carbonate, benzyl carbonate, p-

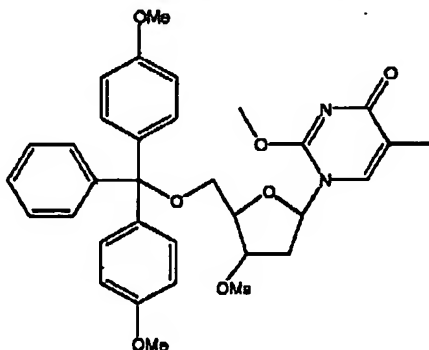
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nitrobenzyl carbonate, t-Bu carbonate, S-benzylthio carbonate, N-phenyl carbamate, or nitrate ester.

24. (Original) A compound according to Claim 21, wherein P is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuranyl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.
25. (Original) A compound according to Claim 21, wherein P is dimethoxytrityl.
26. (Original) A compound according to Claim 21, wherein L is a sulfonate ester.
27. (Original) A compound according to Claim 21, wherein L is selected from the group consisting of p-(2,4-dinitroanilino)benzenesulfonyl, benzenesulfonyl, methylsulfonyl (mesylate), p-methylbenzenesulfonyl (tosylate), 4-nitrobenzene sulfonyl (nosylate), p-bromobenzenesulfonyl, trifluoromethylsulfonyl (triflate), trichloroacetimidate, acyloxy, 2,2,2-trifluoroethanesulfonyl, imidazolesulfonyl, and 2,4,6-trichlorophenyl.
28. (Original) A compound according to Claim 21, wherein R is methyl, P is dimethoxy trityl, and L is mesylate, tosylate, or nosylate.
29. (Original) A compound having the following formula:



wherein Ms is methylsulfonyl.

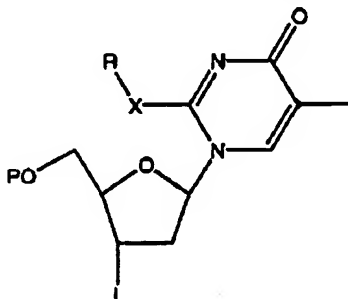
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30. (Currently amended) A compound having the following formula:



wherein R is alkyl C₁-C₄, ~~i~~-propyl, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate; P is a hydroxyl protecting group; X is oxygen, sulfur, or nitrogen, and L is a leaving group.

31. (Original) A compound according to Claim 30, wherein L is halogen, p-(2,4-dinitroanilino)benzenesulfonyl, benzenesulfonyl, methylsulfonyl (mesylate), p-methylbenzenesulfonyl (tosylate), 4-nitrobenzene sulfonyl (nosylate), p-bromobenzenesulfonyl, trifluoromethylsulfonyl (triflate), trichloroacetimidate, acyloxy, 2,2,2-trifluoroethanesulfonyl, imidazolesulfonyl, or 2,4,6-trichlorophenyl.

32. (Original) A compound according to Claim 30, wherein P is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuranyl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.

33-34. (Cancelled)

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